Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED

NEWS EXPRESS	OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:45:25 ON 02 JAN 2005

=>

Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:45:36 ON 02 JAN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 31 DEC 2004 HIGHEST RN 806622-48-0
DICTIONARY FILE UPDATES: 31 DEC 2004 HIGHEST RN 806622-48-0

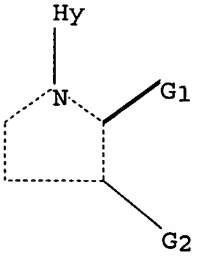
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

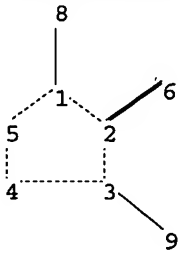
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10750247.str





chain nodes :
6 8 9
ring nodes :
1 2 3 4 5
chain bonds :
1-8 2-6 3-9
ring bonds :
1-2 1-5 2-3 3-4 4-5

exact/norm bonds :
1-2 1-5 1-8 2-3 2-6 3-4 3-9 4-5
isolated ring systems :
containing 1 :

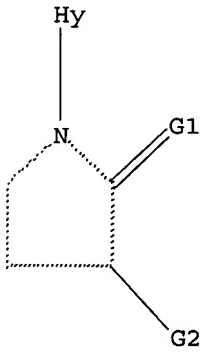
G1:O,S

G2:OH,NH2

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 8:Atom 9:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S
G2 OH,NH2

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 15:45:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5890 TO ITERATE

17.0% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 113199 TO 122401
PROJECTED ANSWERS: 19251 TO 23157

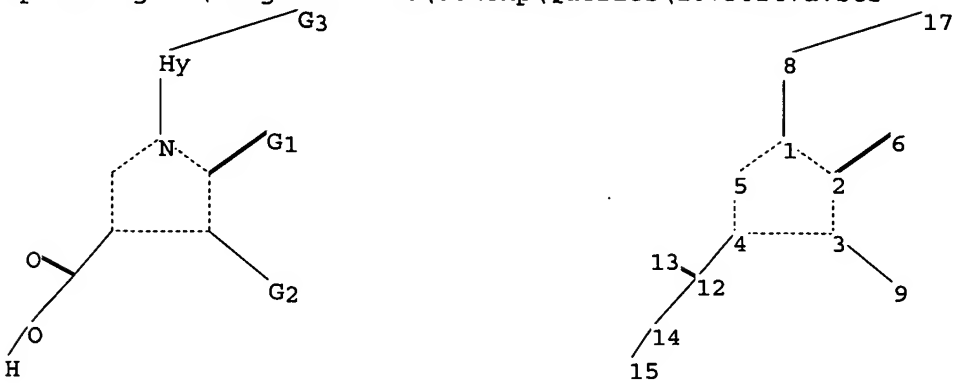
L2 50 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 15:46:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 117835 TO ITERATE

100.0% PROCESSED 117835 ITERATIONS 21147 ANSWERS
SEARCH TIME: 00.00.06

L3 21147 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10750247a.str



chain nodes :
6 8 9 12 13 14 15 17
ring nodes :
1 2 3 4 5
chain bonds :
1-8 2-6 3-9 4-12 8-17 12-13 12-14 14-15
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-8 2-3 2-6 3-4 3-9 4-5 8-17
exact bonds :
4-12 14-15
normalized bonds :
12-13 12-14
isolated ring systems :
containing 1 :

G1:O,S

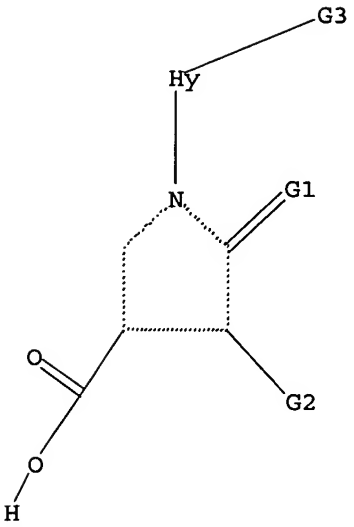
G2:OH,NH2

G3:OH,MeO,EtO,NH2,NO2,X,Ak,CN

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 8:Atom 9:CLASS 12:CLASS
13:CLASS 14:CLASS 15:CLASS 17:CLASS

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 O,S

G2 OH,NH2

G3 OH,MeO,EtO,NH2,NO2,X,Ak,CN

Structure attributes must be viewed using STN Express query preparation.

```
=> s l4
SAMPLE SEARCH INITIATED 15:52:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     56 TO ITERATE

100.0% PROCESSED      56 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          672 TO     1568
PROJECTED ANSWERS:               0 TO        0

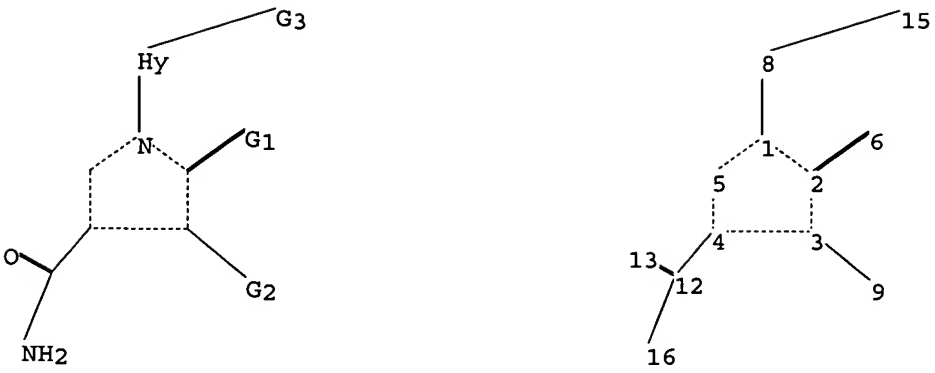
L5             0 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 15:52:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1064 TO ITERATE

100.0% PROCESSED    1064 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

L6             0 SEA SSS FUL L4

=>
Uploading C:\Program Files\Stnexp\Queries\10750247b.str
```



chain nodes :
6 8 9 12 13 15 16
ring nodes :
1 2 3 4 5
chain bonds :
1-8 2-6 3-9 4-12 8-15 12-13 12-16
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-8 2-3 2-6 3-4 3-9 4-5 8-15 12-13 12-16
exact bonds :
4-12
isolated ring systems :
containing 1 :

G1:O,S

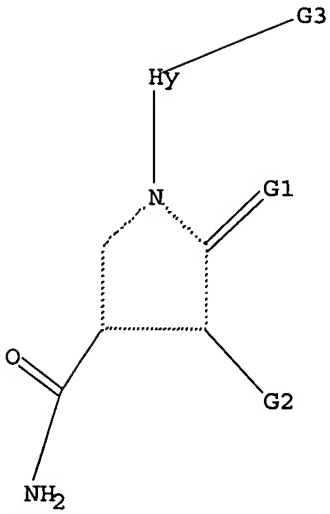
G2:OH,NH2

G3:OH,MeO,EtO,NH2,NO2,X,Ak,CN

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 8:Atom 9:CLASS 12:CLASS
13:CLASS 15:CLASS 16:CLASS

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



G1 O,S

G2 OH,NH2

G3 OH,MeO,EtO,NH2,NO2,X,Ak,CN

Structure attributes must be viewed using STN Express query preparation.

```
=> s l7
SAMPLE SEARCH INITIATED 15:54:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     60 TO ITERATE

100.0% PROCESSED      60 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          736 TO     1664
PROJECTED ANSWERS:               0 TO        0

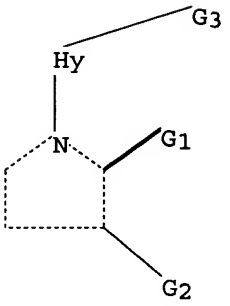
L8             0 SEA SSS SAM L7

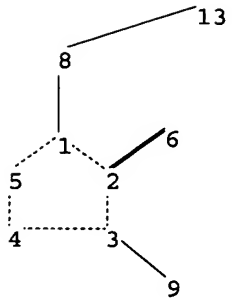
=> s l7 sss full
FULL SEARCH INITIATED 15:54:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1244 TO ITERATE

100.0% PROCESSED    1244 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

L9             0 SEA SSS FUL L7

=>
Uploading C:\Program Files\Stnexp\Queries\10750247c.str
```





chain nodes :
6 8 9 13
ring nodes :
1 2 3 4 5
chain bonds :
1-8 2-6 3-9 8-13
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-8 2-3 2-6 3-4 3-9 4-5 8-13
isolated ring systems :
containing 1 :

G1:O,S

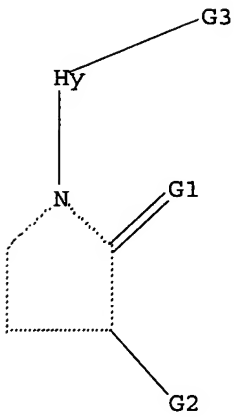
G2:OH,NH2

G3:OH,MeO,EtO,NH2,NO2,X,Ak,CN

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 8:Atom 9:CLASS 13:CLASS

L10 STRUCTURE UPLOADED

=> d l10
L10 HAS NO ANSWERS
L10 STR



G1 O,S

G2 OH,NH2

G3 OH,MeO,EtO,NH2,NO2,X,Ak,CN

Structure attributes must be viewed using STN Express query preparation.

```
=> s l10
SAMPLE SEARCH INITIATED 15:55:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   5890 TO ITERATE

 17.0% PROCESSED    1000 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       113199 TO   122401
PROJECTED ANSWERS:           13098 TO    16352

L11          50 SEA SSS SAM L10

=> s l10 sss full
FULL SEARCH INITIATED 15:56:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 117835 TO ITERATE

100.0% PROCESSED  117835 ITERATIONS                    13892 ANSWERS
SEARCH TIME: 00.00.06

L12       13892 SEA SSS FUL L10

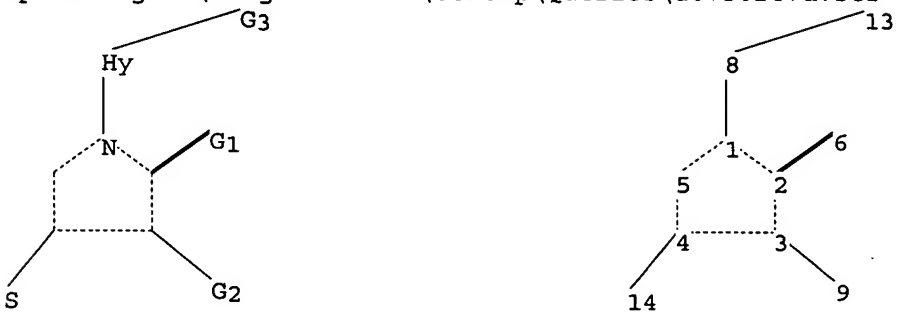
=> d his

     (FILE 'HOME' ENTERED AT 15:45:25 ON 02 JAN 2005)

     FILE 'REGISTRY' ENTERED AT 15:45:36 ON 02 JAN 2005
L1             STRUCTURE UPLOADED
L2            50 S L1
L3         21147 S L1 SSS FULL
L4             STRUCTURE UPLOADED
L5             0 S L4
```

```
L6             0 S L4 SSS FULL
L7               STRUCTURE UPLOADED
L8             0 S L7
L9             0 S L7 SSS FULL
L10              STRUCTURE UPLOADED
L11           50 S L10
L12        13892 S L10 SSS FULL

=>
Uploading C:\Program Files\Stnexp\Queries\10750247d.str
```




```
chain nodes :
6  8  9  13  14
ring nodes :
1  2  3  4  5
chain bonds :
1-8  2-6  3-9  4-14  8-13
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  1-8  2-3  2-6  3-4  3-9  4-5  4-14  8-13
isolated ring systems :
containing 1 :


G1:O,S

G2:OH,NH2

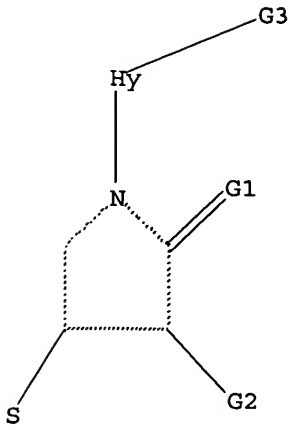
G3:OH,MeO,EtO,NH2,NO2,X,Ak,CN

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:CLASS  8:Atom  9:CLASS  13:CLASS
14:CLASS



L13     STRUCTURE UPLOADED

=> d l13
L13 HAS NO ANSWERS
L13              STR
```



G1 O,S

G2 OH,NH2

G3 OH,MeO,EtO,NH2,NO2,X,Ak,CN

Structure attributes must be viewed using STN Express query preparation.

```
=> s l13
SAMPLE SEARCH INITIATED 15:58:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    315 TO ITERATE

100.0% PROCESSED    315 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5236 TO     7364
PROJECTED ANSWERS:               0 TO        0

L14            0 SEA SSS SAM L13

=> s l13 sss full
FULL SEARCH INITIATED 15:58:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6419 TO ITERATE

100.0% PROCESSED    6419 ITERATIONS                         2 ANSWERS
SEARCH TIME: 00.00.01

L15            2 SEA SSS FUL L13

=> d his

     (FILE 'HOME' ENTERED AT 15:45:25 ON 02 JAN 2005)

     FILE 'REGISTRY' ENTERED AT 15:45:36 ON 02 JAN 2005
L1               STRUCTURE UPLOADED
L2            50 S L1
L3         21147 S L1 SSS FULL
L4               STRUCTURE UPLOADED
L5             0 S L4
L6             0 S L4 SSS FULL
```

```
L7              STRUCTURE UPLOADED
L8            0 S L7
L9            0 S L7 SSS FULL
L10             STRUCTURE UPLOADED
L11          50 S L10
L12       13892 S L10 SSS FULL
L13             STRUCTURE UPLOADED
L14           0 S L13
L15           2 S L13 SSS FULL

=> FIL CAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   813.96     814.17

FILE 'CAPLUS' ENTERED AT 15:58:58 ON 02 JAN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
```



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FILE COVERS 1907 - 2 Jan 2005  VOL 142 ISS 2
FILE LAST UPDATED: 31 Dec 2004  (20041231/ED)

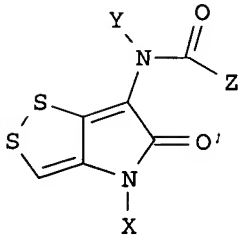
  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l15
L16             1 L15
=> d l16 ibib abs hitstr tot

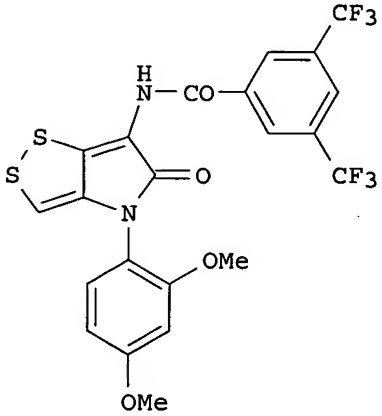
L16  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2003:777806  CAPLUS
DOCUMENT NUMBER:          139:292253
TITLE:                    Preparation of novel dithiolopyrrolones with
                          therapeutic activity against proliferative diseases
INVENTOR(S):              Chen, Genhui; Li, Bin; Li, Jianxiong; Webster, John
PATENT ASSIGNEE(S):       Welrichem Biotech Inc., Can.
SOURCE:                   PCT Int. Appl., 33 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
     WO 2003080624         A2    20031002    WO 2003-CA380            20030318
```

WO 2003080624 A3 20040325
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1490374 A2 20041229 EP 2003-744744 20030318
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.: US 2002-367265P P 20020326
US 2002-418698P P 20021017
WO 2003-CA380 W 20030318
OTHER SOURCE(S): MARPAT 139:292253
GI



I

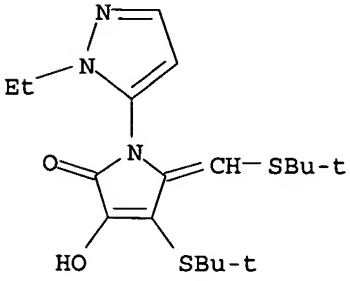


II

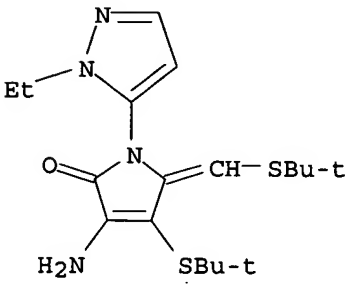
AB The present invention provides novel dithiolopyrrolone compds. (I) [X and Y can be the same or different, are hydrogen, substituted or unsubstituted alkyl, cycloalkyl, aryl, aralkyl or heterocyclic group except the compds. with: Z = Ph, Y = H, X = H, Me or benzyl, and Z = 4-pyridine, X = Me, Y = H; or When X = aryl, heterocyclic, Y and Z, can be the same or different, are hydrogen, unsubstituted or substituted or alkyl of two or less hydroxy groups and no carboxylic acid group, cycloalkyl, aryl, aralkyl or heterocyclic group, except the compds. with: Z = Me, Y = H, X = Ph, 4-methoxyphenyl, 4-methylphenyl] and their salts, which are useful as treatments for cancer and other proliferative diseases. The present invention also provides therapeutic compns. comprising particularly useful types of dithiolopyrrolones, the salts thereof, and methods of using the compds. within such types, particularly in treating proliferative diseases such as cancer. For example, 1,2-dithiolo[4,3-b]pyrrol-5(4H)-one derivative (II) in vitro showed IC50 of ≤0.01, 0.13, 0.016, 0.14, 0.014, 0.03, 0.04, 0.013, and 0.013 μM against leukemia CCRF-CEM, non-small cell lung cancer, colon cancer HCT-116, CNS cancer 0.14, melanoma LOXIMVI, ovarian cancer OVCAR-3, renal cancer RXF 393, prostate cancer DU-145, and breast cancer T-47D, resp.

IT **608132-09-8P 608132-18-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel dithiolopyrrolones with therapeutic activity against proliferative diseases such as cancer)

RN 608132-09-8 CAPLUS
CN 2H-Pyrrol-2-one, 4-[(1,1-dimethylethyl)thio]-5-[[(1,1-dimethylethyl)thio]methylene]-1-(1-ethyl-1H-pyrazol-5-yl)-1,5-dihydro-3-hydroxy- (9CI) (CA INDEX NAME)



RN 608132-18-9 CAPLUS
CN 2H-Pyrrol-2-one, 3-amino-4-[(1,1-dimethylethyl)thio]-5-[[(1,1-dimethylethyl)thio]methylene]-1-(1-ethyl-1H-pyrazol-5-yl)-1,5-dihydro- (9CI) (CA INDEX NAME)

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=> s l12
L17          30 L12

=> s l15
L18           1 L15

=> s l17 and py<=2001
      21605436 PY<=2001
L19          17 L17 AND PY<=2001

=> s l19 and thu
            139 THU
        2205406 THUS
        2205530 THU
                (THU OR THUS)
L20           3 L19 AND THU
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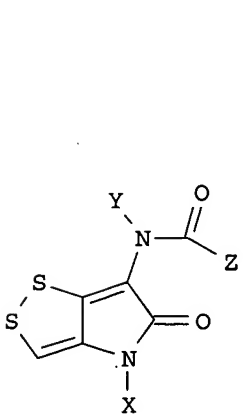
=> d l18 ibib abs hitstr tot

L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:777806 CAPLUS
DOCUMENT NUMBER: 139:292253
TITLE: Preparation of novel dithiolopyrrolones with therapeutic activity against proliferative diseases
INVENTOR(S): Chen, Genhui; Li, Bin; Li, Jianxiong; Webster, John
PATENT ASSIGNEE(S): Wellichem Biotech Inc., Can.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080624	A2	20031002	WO 2003-CA380	20030318
WO 2003080624	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1490374	A2	20041229	EP 2003-744744	20030318
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:
US 2002-367265P P 20020326
US 2002-418698P P 20021017
WO 2003-CA380 W 20030318

OTHER SOURCE(S): MARPAT 139:292253
GI



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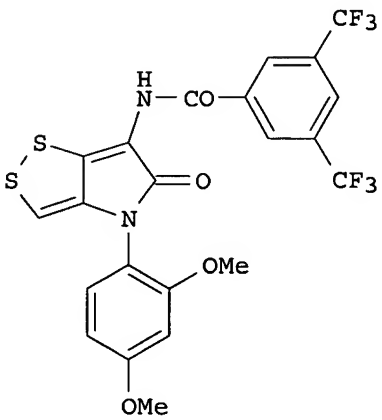
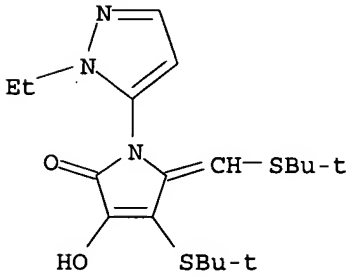


II

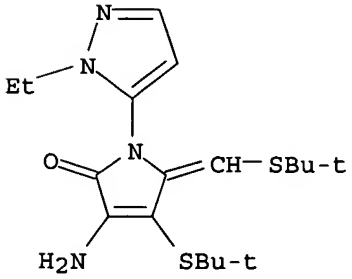
AB The present invention provides novel dithiolopyrrolone compds. (I) [X and Y can be the same or different, are hydrogen, substituted or unsubstituted alkyl, cycloalkyl, aryl, aralkyl or heterocyclic group except the compds. with: Z = Ph, Y = H, X = H, Me or benzyl, and Z = 4-pyridine, X = Me, Y = H; or When X = aryl, heterocyclic, Y and Z, can be the same or different, are hydrogen, unsubstituted or substituted or alkyl of two or less hydroxy groups and no carboxylic acid group, cycloalkyl, aryl, aralkyl or heterocyclic group, except the compds. with: Z = Me, Y = H, X = Ph, 4-methoxyphenyl, 4-methylphenyl] and their salts, which are useful as treatments for cancer and other proliferative diseases. The present invention also provides therapeutic compns. comprising particularly useful types of dithiolopyrrolones, the salts thereof, and methods of using the compds. within such types, particularly in treating proliferative diseases such as cancer. For example, 1,2-dithiolo[4,3-b]pyrrol-5(4H)-one derivative (II) in vitro showed IC50 of ≤0.01, 0.13, 0.016, 0.14, 0.014, 0.03, 0.04, 0.013, and 0.013 μM against leukemia CCRF-CEM, non-small cell lung cancer, colon cancer HCT-116, CNS cancer 0.14, melanoma LOXIMVI, ovarian cancer OVCAR-3, renal cancer RXF 393, prostate cancer DU-145, and breast cancer T-47D, resp.

IT **608132-09-8P 608132-18-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel dithiolopyrrolones with therapeutic activity against proliferative diseases such as cancer)

RN 608132-09-8 CAPLUS
CN 2H-Pyrrol-2-one, 4-[(1,1-dimethylethyl)thio]-5-[[(1,1-dimethylethyl)thio]methylene]-1-(1-ethyl-1H-pyrazol-5-yl)-1,5-dihydro-3-hydroxy- (9CI) (CA INDEX NAME)



RN 608132-18-9 CAPLUS
CN 2H-Pyrrol-2-one, 3-amino-4-[(1,1-dimethylethyl)thio]-5-[[(1,1-dimethylethyl)thio]methylene]-1-(1-ethyl-1H-pyrazol-5-yl)-1,5-dihydro- (9CI) (CA INDEX NAME)



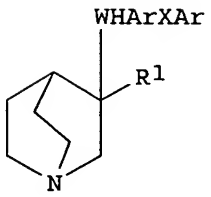
=> d l19 ibib abs hitstr tot

L19 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:247333 CAPLUS
DOCUMENT NUMBER: 134:266475
TITLE: Preparation of quinuclidine compounds and drugs containing the same as the active ingredient of squalene synthase inhibitors
INVENTOR(S): Okada, Toshimi; Kurusu, Nobuyuki; Tanaka, Keigo; Miyazaki, Kazuki; Shinmyo, Daisuke; Sugumi, Hiroyuki; Ikuta, Hironori; Hiyoshi, Hironobu; Saeki, Takao; Yanagimachi, Mamoru; Ito, Masashi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023383	A1	20010405	WO 2000-JP6665	20000927 <--
W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2385995	AA	20010405	CA 2000-2385995	20000927 <--
AU 2000074464	A5	20010430	AU 2000-74464	20000927 <--
EP 1217001	A1	20020626	EP 2000-962889	20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
BR 2000014331	A	20030610	BR 2000-14331	20000927
NZ 517788	A	20031128	NZ 2000-517788	20000927
ZA 2002002034	A	20030312	ZA 2002-2034	20020312
US 6599917	B1	20030729	US 2002-88554	20020319
NO 2002001528	A	20020528	NO 2002-1528	20020326

PRIORITY APPLN. INFO.:
JP 1999-273905 A 19990928
JP 2000-179352 A 20000615
WO 2000-JP6665 W 20000927

OTHER SOURCE(S): MARPAT 134:266475
GI



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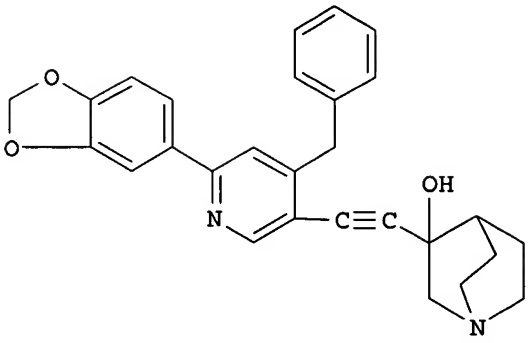


II

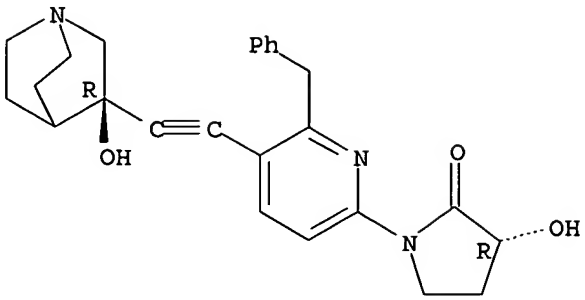
AB Title compds. [I; wherein R1 is hydrogen or hydroxyl; HAr is an optionally substituted aromatic heterocycle; Ar is an optionally substituted aromatic ring;
W is a CH2CH2 group which may be substituted, a CH:CH group which may be substituted, CC, NHCO, or the like; X is a single bond, optionally substituted C1-6 alkylene, Q ;wherein Q is oxygen, sulfur, CO, N(R2) ; wherein R2 is C1-6 alkyl or C1-6 alkoxy, NHCO, or the like], salts thereof, or hydrates of both, are prepared and are useful as excellent squalene synthase inhibitors. Thus, the title compound II was prepared and tested.

IT **332132-83-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinuclidine compds. and drugs containing the same as active ingredient of squalene synthase inhibitors)

RN 332132-83-9 CAPLUS

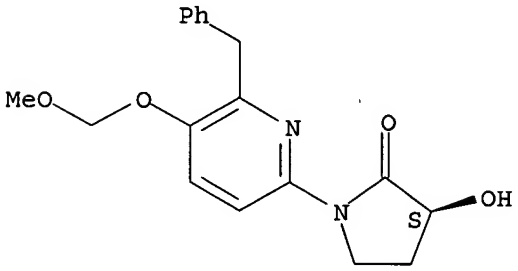
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-[[(3R)-3-hydroxy-1-azabicyclo[2.2.2]oct-3-yl]ethynyl]-6-(phenylmethyl)-2-pyridinyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



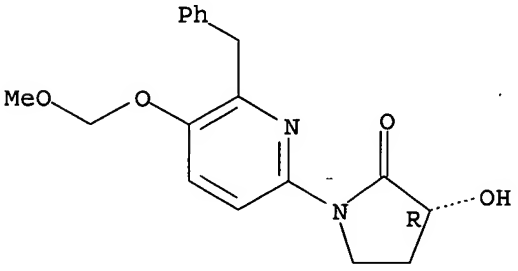
IT **332135-05-4P 332135-10-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinuclidine compds. and drugs containing the same as active ingredient of squalene synthase inhibitors)
RN 332135-05-4 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-(methoxymethoxy)-6-(phenylmethyl)-2-pyridinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 332135-10-1 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-(methoxymethoxy)-6-(phenylmethyl)-2-pyridinyl]-, (3R)- (9CI) (CA INDEX NAME)

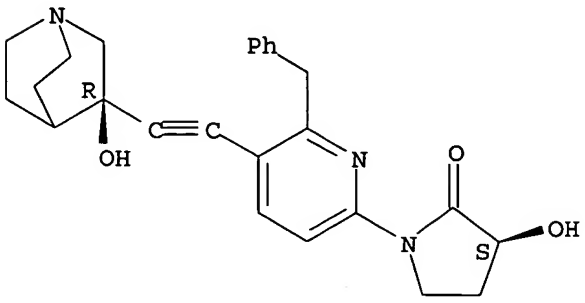
Absolute stereochemistry.



IT **332132-82-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinuclidine compds. and drugs containing the same as active ingredient of squalene synthase inhibitors)

RN 332132-82-8 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-[[(3R)-3-hydroxy-1-azabicyclo[2.2.2]oct-3-yl]ethynyl]-6-(phenylmethyl)-2-pyridinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

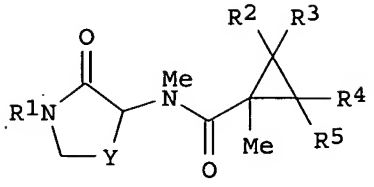


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:260235 CAPLUS
DOCUMENT NUMBER: 132:293663
TITLE: Preparation of cyclopropylcarbonylaminopyrrolidinones, -thiazolidinones, or -oxazolidinones as herbicides.
INVENTOR(S): Parry, David Rees; Matthews, Ian Richard; Mitchell, Glynn; Williams, Alfred Glyn; Barnes, Nigel John; Cox, John Michael; Gillen, Kevin James; Ensminger, Michael Paul; Khodayari, Khosro; Nakayama, Hiroto
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021928	A1	20000420	WO 1999-GB3143	19990921 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961033	A1	20000501	AU 1999-61033	19990921 <--
BR 9914342	A	20010626	BR 1999-14342	19990921 <--
JP 2002527420	T2	20020827	JP 2000-575837	19990921
PRIORITY APPLN. INFO.:			GB 1998-22116 A	19981009
			WO 1999-GB3143 W	19990921

OTHER SOURCE(S): MARPAT 132:293663
GI



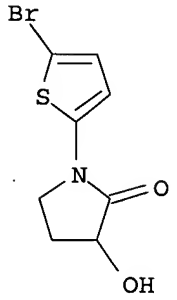
I

AB Title compds. [I; Y = O, S, CH2; R1 = (substituted) aryl, heteroarom.; R2, R3 = H, halogen; R4, R5 = halo], were prepared Thus, 3-methylamino-1-(3-difluoromethoxy-4-methylphenyl)pyrrolidin-2-one (preparation given) in CH2Cl2 was treated with 2,2-dichloro-1-methylcyclopropylcarbonyl chloride and then with Et3N to give diastereomeric 3-[N-(2,2-dichloro-1-methylcyclopropylcarbonyl)methylamino]-1-(3-difluoromethoxy-4-methylphenyl)pyrrolidin-2-one. Several I at 250 ppm preemergent gave 90-100% damage to Amaranthus retroflexus, Echinochloa crus-galli, etc.

IT **264194-57-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclopropylcarbonylaminopyrrolidinones, -thiazolidinones, or -oxazolidinones as herbicides)

RN 264194-57-2 CAPLUS

CN 2-Pyrrolidinone, 1-(5-bromo-2-thienyl)-3-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:161902 CAPLUS

DOCUMENT NUMBER: 128:243903

TITLE: Synthesis and antibacterial activity of 1-substituted 5-aryl-4-aroyl-3-hydroxy-3-pyrrolin-2-ones

AUTHOR(S): Gein, V. L.; Pitirimova, S. G.; Voronina, E. V.; Porseva, N. Yu.; Pantsurkin, V. I.

CORPORATE SOURCE: Perm. Far. Akad., Perm, Russia

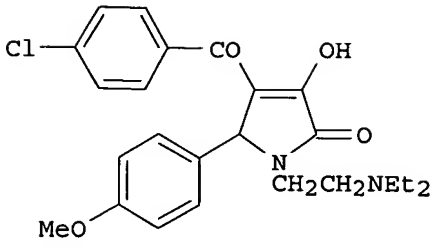
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (**1997**), 31(11), 35-36
CODEN: KHFZAN; ISSN: 0023-1134

PUBLISHER: Izdatel'stvo Folium

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



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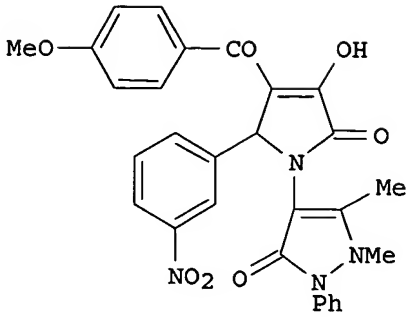


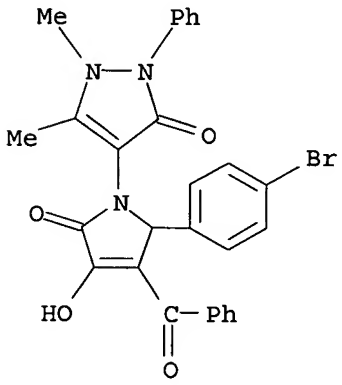
II

AB Title compds. such as I and II were prepared by cyclocondensation of Me 4-aryl-2,4-dioxobutanoates with benzaldehydes and either Et2NCH2CH2NH2 or 4-aminoantipyrine. Several of the products or their hydrochlorides were tested against Staphylococcus aureus and Escherichia coli. The antipyrine moiety conferred no increase in antibacterial activity on these compds.

IT **204756-95-6P 204756-96-7P 204756-97-8P**
204757-02-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

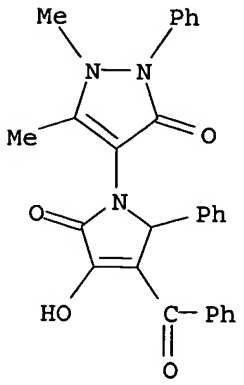
RN 204756-95-6 CAPLUS

CN 3H-Pyrazol-3-one, 4-[3-benzoyl-2-(4-bromophenyl)-2,5-dihydro-4-hydroxy-5-oxo-1H-pyrrol-1-yl]-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

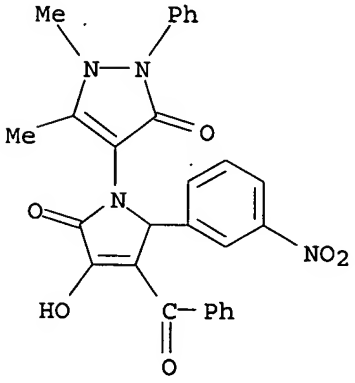


RN 204756-96-7 CAPLUS

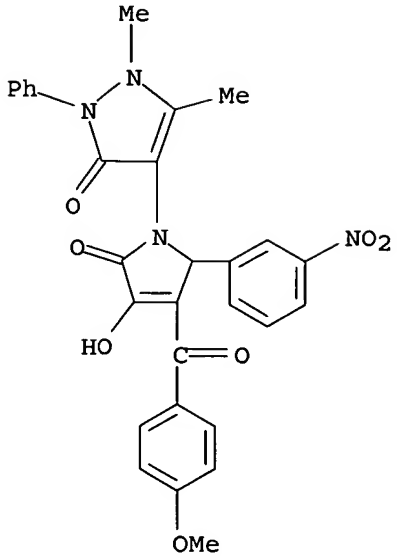
CN 3H-Pyrazol-3-one, 4-(3-benzoyl-2,5-dihydro-4-hydroxy-5-oxo-2-phenyl-1H-pyrrol-1-yl)-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



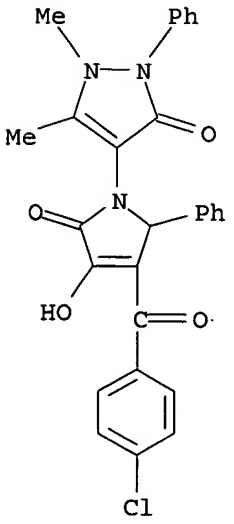
RN 204756-97-8 CAPLUS
CN 3H-Pyrazol-3-one, 4-[3-benzoyl-2,5-dihydro-4-hydroxy-2-(3-nitrophenyl)-5-oxo-1H-pyrrol-1-yl]-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



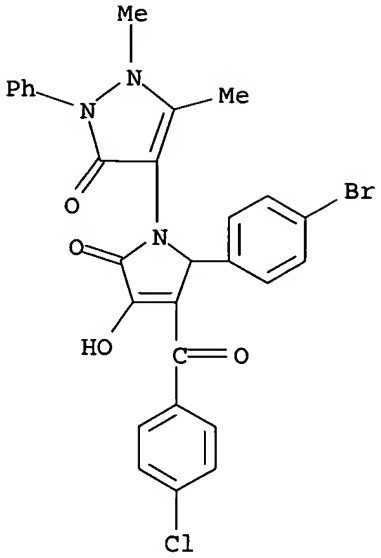
RN 204757-02-8 CAPLUS
CN 3H-Pyrazol-3-one, 4-[2,5-dihydro-3-hydroxy-4-(4-methoxybenzoyl)-5-(3-nitrophenyl)-2-oxo-1H-pyrrol-1-yl]-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



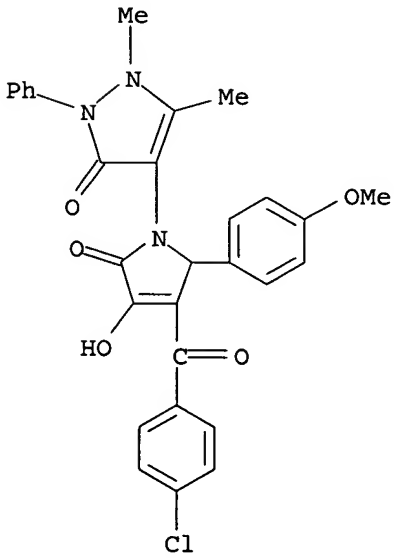
IT **204756-98-9P 204756-99-0P 204757-00-6P**
204757-01-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 204756-98-9 CAPLUS
CN 3H-Pyrazol-3-one, 4-[3-(4-chlorobenzoyl)-2,5-dihydro-4-hydroxy-5-oxo-2-phenyl-1H-pyrrol-1-yl]-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



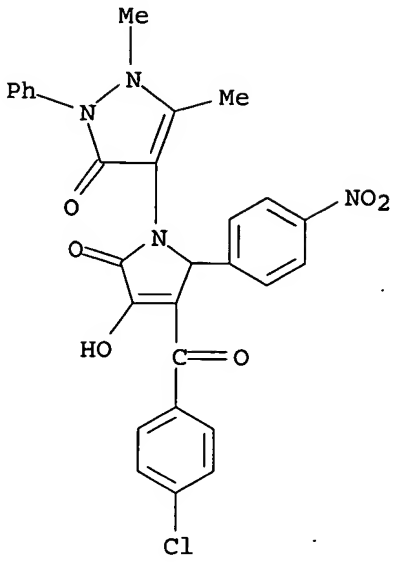
RN 204756-99-0 CAPLUS
CN 3H-Pyrazol-3-one, 4-[2-(4-bromophenyl)-3-(4-chlorobenzoyl)-2,5-dihydro-4-hydroxy-5-oxo-1H-pyrrol-1-yl]-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 204757-00-6 CAPLUS
CN 3H-Pyrazol-3-one, 4-[3-(4-chlorobenzoyl)-2,5-dihydro-4-hydroxy-2-(4-methoxyphenyl)-5-oxo-1H-pyrrol-1-yl]-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 204757-01-7 CAPLUS
CN 3H-Pyrazol-3-one, 4-[3-(4-chlorobenzoyl)-2,5-dihydro-4-hydroxy-2-(4-nitrophenyl)-5-oxo-1H-pyrrol-1-yl]-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

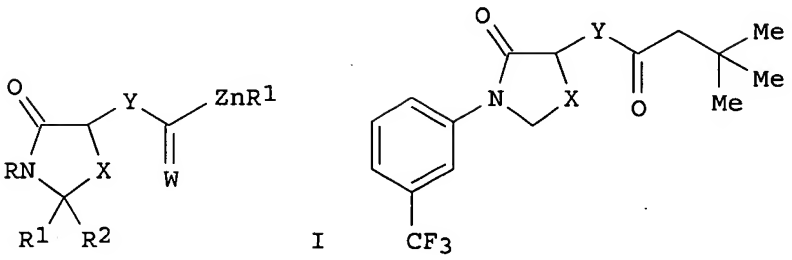


L19 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:200115 CAPLUS
DOCUMENT NUMBER: 124:261022
TITLE: Preparation of substituted pyrrolidones, thiazolidones, or oxazolidones as herbicides
INVENTOR(S): Cox, John Michael; Clough, John Martin; Barnes, Nigel John; Pearson, David Philip John; Matthews, Ian Richard; Vohra, Shaheen Khatoon; Smith, Stephen Christopher; Mitchell, Glynn; Barber, Richard Anthony; Et, Al.
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533719	A1	19951214	WO 1995-GB1224	19950526 <--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, IS, JP, KP, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2190979	AA	19951214	CA 1995-2190979	19950526 <--
AU 9525720	A1	19960104	AU 1995-25720	19950526 <--
AU 696084	B2	19980903		
EP 763020	A1	19970319	EP 1995-920158	19950526 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 75808	A2	19970528	HU 1996-3248	19950526 <--
CN 1153512	A	19970702	CN 1995-194250	19950526 <--
BR 9507838	A	19970916	BR 1995-7838	19950526 <--
JP 10500985	T2	19980127	JP 1995-500502	19950526 <--

ZA 9504443	A	19960205	ZA 1995-4443		19950531 <--
CN 1341594	A	20020327	CN 2001-125418		20010815
PRIORITY APPLN. INFO.:			GB 1994-10998	A	19940602
			GB 1994-11004	A	19940602
			GB 1995-1158	A	19950120
			WO 1995-GB1224	W	19950526

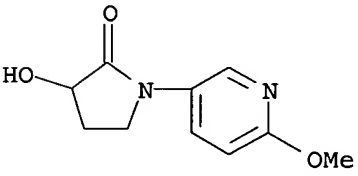
OTHER SOURCE(S): MARPAT 124:261022
GI



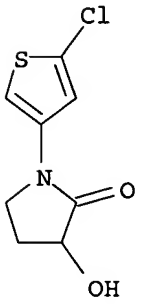
AB Title compds. [I; R = (un)substituted (hetero)aryl; R1 = H, hydrocarbyl, heterocyclyl, etc.; R2,R3 = H, alkyl; W = O or S; X = O, S, CR4R5; R4,R5 = H, alkyl; Y = O, S, NR6, CR4R5, etc.; R6 = H, OH, CHO, (di)(hydrocarbyl)amino, hydrocarbyl, etc.; Z = O, S, NR4, etc.; n = 0 or 1] were prepared Thus, 3-(F3C)C6H4NH2 was cyclocondensed with HSCH2CO2H and HCHO and the product converted in 2 steps to 5-hydroxy-3-(3-trifluoromethylphenyl)-4-thiazolidinone which was O-acylated with Me3CCH2COCl to give title compound II (X = S, Y = O). II (X = CH2, Y = NH) gave 90-100% control of 7 weeds, e.g., Amaranthus retroflexus, with 6-15% damage to soybean and corn and no damage to rice at 500g/ha preemergent.

IT **174889-59-9P 174889-68-0P 174889-87-3P**
174889-88-4P 174889-89-5P 174889-90-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted pyrrolidones, thiazolidones, or oxazolidones as herbicides)

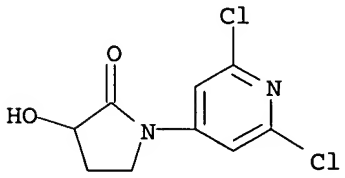
RN 174889-59-9 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



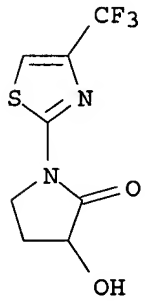
RN 174889-68-0 CAPLUS
CN 2-Pyrrolidinone, 1-(5-chloro-3-thienyl)-3-hydroxy- (9CI) (CA INDEX NAME)



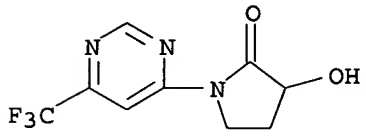
RN 174889-87-3 CAPLUS
CN 2-Pyrrolidinone, 1-(2,6-dichloro-4-pyridinyl)-3-hydroxy- (9CI) (CA INDEX NAME)



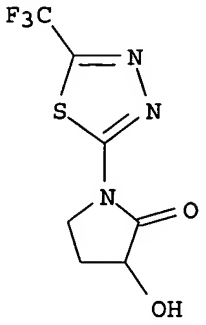
RN 174889-88-4 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[4-(trifluoromethyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 174889-89-5 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[6-(trifluoromethyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 174889-90-8 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

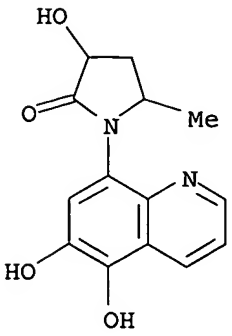


L19 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:307859 CAPLUS
DOCUMENT NUMBER: 122:122445
TITLE: Side-chain hydroxylation in the metabolism of 8-aminoquinoline antiparasitic agents
AUTHOR(S): Idowu, O. R.; Peggins, J. O.; Brewer, T. G.
CORPORATE SOURCE: Department Pharmacology, Water Reed Army Institute Research, Washington, DC, 20307-5100, USA
SOURCE: Drug Metabolism and Disposition (**1995**), 23(1), 18-27
CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Primaquine, 8-(4-amino-1-methylbutylamino)-6-methoxyquinoline, is an antimalarial 8-aminoquinoline derivative Although it has been in use since 1952, its metabolism has not been clearly defined. This is due to the instability of the expected aminophenol metabolites and their amphoteric nature, which makes their isolation difficult. Recent studies on the metabolism of WR 238605, a new primaquine analog, has shown that these problems may be solved by extracting the metabolites in the presence of Et chloroformate. Subsequent identification of the ethoxycarbonyl derivs. of the metabolites has made it possible to define the in vitro metabolism of primaquine. The primary metabolic pathways of primaquine involved hydroxylation of the Ph ring of the quinoline nucleus and C-hydroxylation of the 3'-position of the 8-aminoalkylamino side chain. Ring-hydroxylation of primaquine gives rise to 5-hydroxyprimaquine, which on demethylation produces 5-hydroxy-6-demethylprimaquine. Side-chain hydroxylation of primaquine gives rise to 3'-hydroxyprimaquine, which also undergoes O-demethylation to 3'-hydroxy-6-demethylprimaquine. 6-Demethylprimaquine, a putative metabolite of primaquine, also underwent metabolism involving 3'-hydroxylation of the side chain. WR 6026, 8-(6-diethylaminohexylamino)-6-methoxy-4-methylquinoline, is an antileishmanial 8-aminoquinoline derivative The in vitro metabolism of WR 6026 also results in the formation of side chain-oxygenated metabolites. The present results, together with previous observations on the metabolism of WR 238605 suggest that side-chain oxygenation is an important metabolic pathway of antiparasitic 8-aminoquinoline compds. in general.

IT **160924-73-2**
RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(sidechain hydroxylation in the metabolism of 8-aminoquinoline antiparasitic agents)
RN 160924-73-2 CAPLUS

CN 2-Pyrrolidinone, 1-(5,6-dihydroxy-8-quinolinyl)-3-hydroxy-5-methyl- (9CI) (CA INDEX NAME)

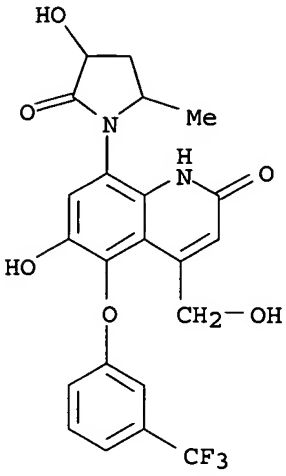


L19 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:307858 CAPLUS
DOCUMENT NUMBER: 122:95805
TITLE: Metabolism of a candidate 8-aminoquinoline antimalarial agent, WR 238605, by rat liver microsomes
AUTHOR(S): Idowu, O. R.; Peggins, J. O.; Brewer, T. G.; Kelley, C.
CORPORATE SOURCE: Department Pharmacology, Walter Reed Army Institute Research, Washington, DC, 20307-5100, USA
SOURCE: Drug Metabolism and Disposition (**1995**), 23(1), 1-17
CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

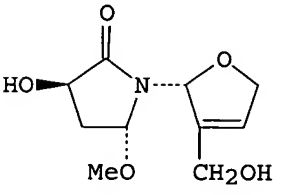
AB The in vitro metabolism of the 8-aminoquinoline, 8-(4-amino-1-methylbutylamino)-2,6-dimethoxy-4-methyl-5-(3-trifluromethylphenoxy)quinoline (WR 238605), by rat liver microsomes was studied. After incubation of WR 238605 with rat liver microsomes, the metabolites were isolated either by direct solvent extraction or by extraction in the presence of Et chloroformate. WR 238605 was extensively metabolized to aminophenolic compds., which underwent air oxidation during the isolation process to a mixture of quinones and quinone imines. Because of the instability of the metabolites toward air oxidation, most of them could only be isolated as the ethoxycarbonyl derivs. by in situ derivatization with Et chloroformate. The metabolism of WR 238605 involved the expected metabolic pathways, such as O-demethylation, N-dealkylation, N-oxidation, and oxidative deamination. In addition, C-hydroxylation involving the 8-aminoalkylamino side chain, which was previously unknown for 8-aminoquinoline analogs, was found to be an important metabolic pathway for WR 238605. Most of the metabolites retained the 5-(m-trifluoromethyl)phenoxy group of WR 238605. Direct and indirect supporting evidence for the structure of the metabolites of WR 238605 came from the concomitant study of the in vitro metabolism of six other compds. that are putative metabolites of WR 238605.

IT **160668-01-9**
RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metabolism of aminoquinoline antimalarial WR 238605 by rat liver microsomes)
RN 160668-01-9 CAPLUS

CN 2(1H)-Quinolinone, 6-hydroxy-4-(hydroxymethyl)-8-(3-hydroxy-5-methyl-2-oxo-1-pyrrolidinyl)-5-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



L19 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:431093 CAPLUS
DOCUMENT NUMBER: 121:31093
TITLE: 2,5-dihydrofuryl-γ-lactam derivatives from Hemerocallis fulva L. var. kwanso Regel. II
AUTHOR(S): Inoue, Tomohiroo; Konishi, Tenji; Kiyosawa, Shiu; Fujiwara, Yasuhiro
CORPORATE SOURCE: Kyoto Pharm. Univ., Kyoto, 607, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (**1994**), 42(1), 154-5
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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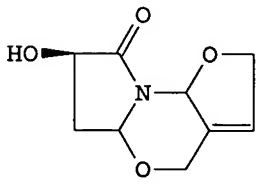


II

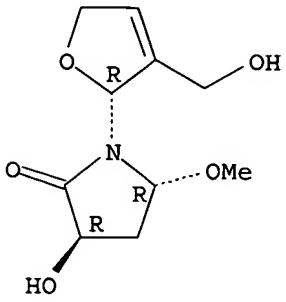
AB Two new 2,5-dihydrofuryl-γ-lactam derivs., fulvanine D (I) and E (II), were extracted from Hemerocallis fulva L. var. kwanso Regel along with fulvanine A, B, and C. The structures of I and II were established as 1-(3-hydroxymethyl-2,5-dihydro-2-furyl)azacyclopenta-3-hydroxy-5-methoxy-2-one and 1,2,4,5,5a,6,7,8-8a,8b-decahydro-1,5-dioxa-8a-aza-asym-indacen-7-hydroxy-8-one.

IT **155944-19-7**
RL: BIOL (Biological study)
(from Hemerocallis fulva, structure of)

RN 155944-19-7 CAPLUS

CN 2-Pyrrolidinone, 1-[2,5-dihydro-3-(hydroxymethyl)-2-furanyl]-3-hydroxy-5-methoxy-, [1(R*),3α,5β]-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



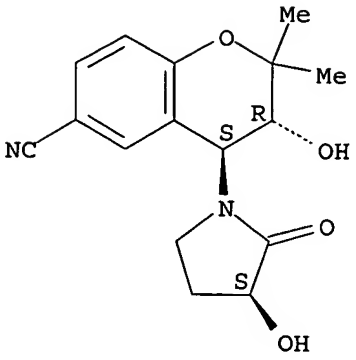
L19 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:51776 CAPLUS
DOCUMENT NUMBER: 118:51776
TITLE: Disposition of the enantiomers of cromakalim in rat and cynomolgus monkey
AUTHOR(S): Tomlinson, P. W.; Ramji, J. V.; Filer, C. W.
CORPORATE SOURCE: SmithKline Beecham Pharm., The Frythe/Welwyn/Herts., AL6 9AR, UK
SOURCE: Xenobiotica (**1992**), 22(7), 799-814
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Disposition of the 3R,4S(+) and 3S,4R(-) enantiomers of the racemic antihypertensive drug cromakalim has been studied in rats and cynomolgus monkeys using the 14C-drug labeled in either the 3R,4S(+) or the 3S,4R(-) enantiomer. After oral administration to rat, blood concns. of the 3R,4S(+) enantiomer were up to 4-fold higher than those of the 3S,4R(-) enantiomer. Metabolism of the former was not as extensive as that of the latter and consequently plasma and urinary radiometabolite patterns were quant. different. In contrast to rat, there were much greater differences in the disposition of the two enantiomers following oral administration of cromakalim to the cynomolgus monkey. Plasma concns. of the 3R,4S(+) enantiomer were approx. 100 + those of the 3S,4R(-) enantiomer and the rate of urinary 14C elimination for the 3R,4S(+) enantiomer was much faster than that for the 3S,4R(-) enantiomer. Plasma and urinary radiometabolite patterns were very different for the two isomers. Metabolite end products of the 3R,4S(+) enantiomer were predominantly phase I metabolites whereas the 3S,4R(-) enantiomer was almost entirely metabolized by glucuronidation. A study of the racemic drug alone would have led to a misunderstanding of the fate of the compound in these species.

IT **118628-73-2 145416-58-6 145416-59-7**
RL: FORM (Formation, nonpreparative)
(formation of, as cromakalim enantiomeric metabolite, in cynomolgus monkey and rat)

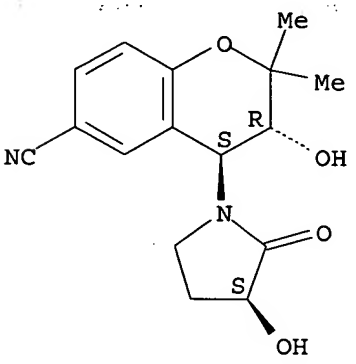
RN 118628-73-2 CAPLUS
CN 2H-1-Benzopyran-6-carbonitrile, 3,4-dihydro-3-hydroxy-4-(3-hydroxy-2-oxo-1-pyrrolidinyl)-2,2-dimethyl-, [3α,4β(S*)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



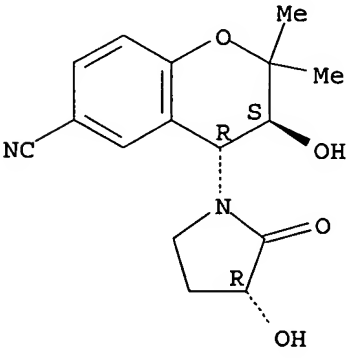
RN 145416-58-6 CAPLUS
CN 2H-1-Benzopyran-6-carbonitrile, 3,4-dihydro-3-hydroxy-4-(3-hydroxy-2-oxo-1-pyrrolidinyl)-2,2-dimethyl-, [3R-[3α,4β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145416-59-7 CAPLUS
CN 2H-1-Benzopyran-6-carbonitrile, 3,4-dihydro-3-hydroxy-4-(3-hydroxy-2-oxo-1-pyrrolidinyl)-2,2-dimethyl-, [3S-[3α,4β(S*)]]- (9CI) (CA INDEX NAME)

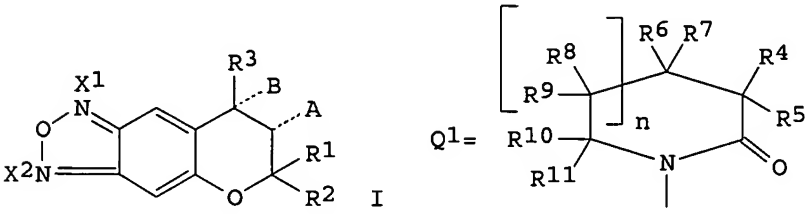
Absolute stereochemistry.



L19 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:235638 CAPLUS
DOCUMENT NUMBER: 116:235638
TITLE: Preparation of 8-piperdinyl-6H-pyrano[2,3-f]benzo-2,1,3-oxadiazoles as antihypertensives
INVENTOR(S): Seto, Kiyotomo; Matsumoto, Hiroo; Kamikawaji, Yoshimasa; Ohrai, Kazuhiko; Ohdoi, Keisuke; Sakoda, Ryozo; Masuda, Yukinori
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 466131	A2	19920115	EP 1991-111490	19910710 <--
EP 466131	A3	19920304		
EP 466131	B1	19950927		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 04342591	A2	19921130	JP 1991-120279	19910524 <--
US 5272271	A	19931221	US 1991-726897	19910708 <--
CA 2046730	AA	19920111	CA 1991-2046730	19910710 <--
AT 128468	E	19951015	AT 1991-111490	19910710 <--

PRIORITY APPLN. INFO.: JP 1990-182525 A 19900710
JP 1991-120279 A 19910524
OTHER SOURCE(S): MARPAT 116:235638
GI



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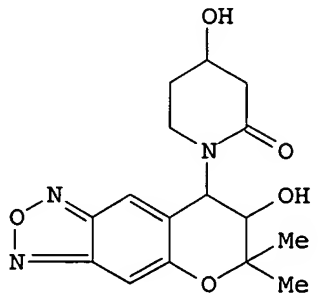


II

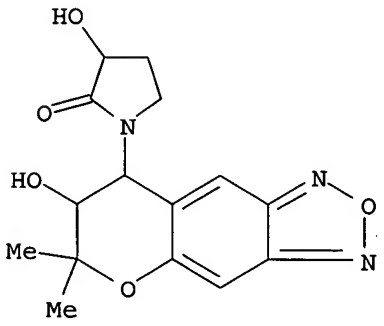
AB Title compds. [I; X1, X2 = null, O; A = OH, acyloxy; B = H; AB = bond; R1, R2 = H, alkyl; R1R2 = (alkyl substituted) (CH2)m; m = 2-5; R3 = Q1, NR12R13, n = 0,1; R4-R11 = H, alkyl, ONO2, OSO3H, OH, acyloxy, alkoxy, trialkylsilyloxy, etc.; R12, R13 = H, alkyl, acyl; R12R13N = (substituted)

5-6 membered heterocyclyl] were prepared (±)-β-tert-Butyldimethylsilyloxy-δ-valerolactone and (+)-7,8-dihydro-6,6-dimethyl-7-hydroxy-8-amino-6H-pyrano[2,3-f]benzo-2,1,3-oxadiazole were heated at 100° for 3h to give a mixture of diastereomeric amides. The diastereomers were separated followed by bromination with Ph3P/CBr4, cyclization with Et4NOH in DMF/Me2SO, and desilylation with Bu4NF in THF to give title compds. II. One isomer of II at 0.1 mg/kg orally gave 29% reduction in systolic blood pressure in spontaneously hypertensive rats. Several dosage formulations were prepared containing this isomer.

IT **140628-82-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

RN 140628-82-6 CAPLUS

CN 2-Pyrrolidinone, 1-(7,8-dihydro-7-hydroxy-6,6-dimethyl-6H-pyrano[2,3-f]-2,1,3-benzoxadiazol-8-yl)-3-hydroxy- (9CI) (CA INDEX NAME)



L19 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:234928 CAPLUS

DOCUMENT NUMBER: 114:234928

TITLE: Physicochemical properties and stability of tosulfloxacin tosylate

AUTHOR(S): Ohasi, Osamu; Kokei, Tetsuo; Shinmura, Tetsuzo; Takakura, Isamu

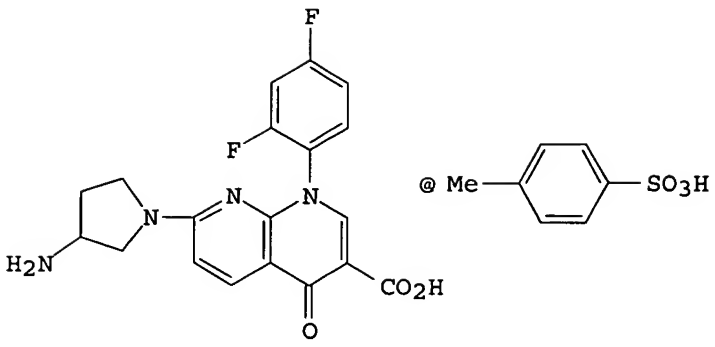
CORPORATE SOURCE: Toyama Kagaku Kogyo K. K., Japan

SOURCE: Kagaku Ryoho no Ryoiki (**1990**), 6(9), 1937-45
CODEN: KRRYEI; ISSN: 0913-2384

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

GI



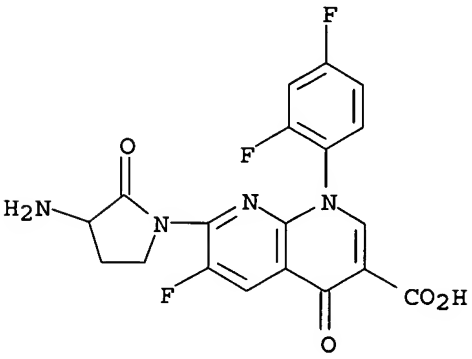
I

AB The physicochem. properties (e.g. solubility, hygroscopicity, etc.) and stability in solns. and tablets of tosulfloxacin tosylate (I) were studied. I is stable for ≥12 mo in aluminum foils (PTP package) under ordinary storage condition; only slight color changes were noted, and the photodegrdn. product of I was identified.

IT **133878-42-9**
RL: FORM (Formation, nonpreparative)
(formation of, as photodegrdn. product of tosulfloxacin)

RN 133878-42-9 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-(3-amino-2-oxo-1-pyrrolidinyl)-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L19 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:139787 CAPLUS

DOCUMENT NUMBER: 114:139787

TITLE: Novel 2,5-dihydrofuryl-γ-lactam derivatives from Hemerocallis fulva L. var. kwanzo Regel

AUTHOR(S): Inoue, Tomohiro; Iwagoe, Kiyoshi; Konishi, Tenji; Kiyosawa, Shiu; Fujiwara, Yasuhiro

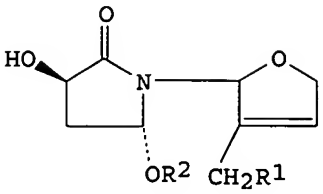
CORPORATE SOURCE: Kyoto Pharm. Univ., Kyoto, 607, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (**1990**), 38(11), 3187-9
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I, R^1=OH, R^2=H
II, R^1=R^2=H
III, R^1=H, R^2=Me

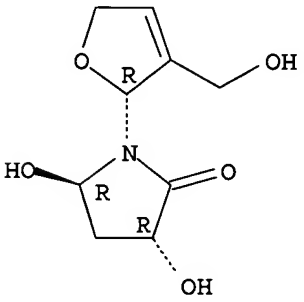
AB Three novel 2,5-dihydrofuryl-γ-lactam derivs., fulvanine A (I), B (II), and C (III), have been extracted from H. fulva var. kwanzo along with oxypinnatanine. Their resp. structures have been established as 1-(3-hydroxymethyl-2,5-dihydrofuryl)-azacyclopenta-3,5-dihydroxy-2-one, 1-(3-methyl-2,5-dihydrofuryl)-azacyclopenta-3,5-dihydroxy-2-one, and 1-(3-methyl-2,5-dihydrofuryl)-azacyclopenta-3-hydroxy-5-methoxy-2-one.

IT **132922-40-8**, Fulvanine A **132922-41-9**, Fulvanine B
132922-42-0, Fulvanine C
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(of Hemerocallis fulva, isolation and structure determination of)

RN 132922-40-8 CAPLUS

CN 2-Pyrrolidinone, 1-[(2R)-2,5-dihydro-3-(hydroxymethyl)-2-furanyl]-3,5-dihydroxy-, (3R,5R)-rel- (9CI) (CA INDEX NAME)

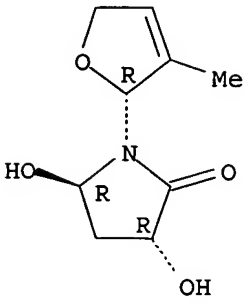
Relative stereochemistry.
Currently available stereo shown.



RN 132922-41-9 CAPLUS

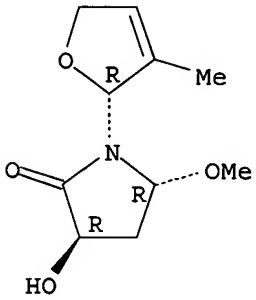
CN 2-Pyrrolidinone, 1-[(2R)-2,5-dihydro-3-methyl-2-furanyl]-3,5-dihydroxy-, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Currently available stereo shown.



RN 132922-42-0 CAPLUS
CN 2-Pyrrolidinone, 1-[(2R)-2,5-dihydro-3-methyl-2-furanyl]-3-hydroxy-5-methoxy-, (3R,5R)-rel- (9CI) (CA INDEX NAME)

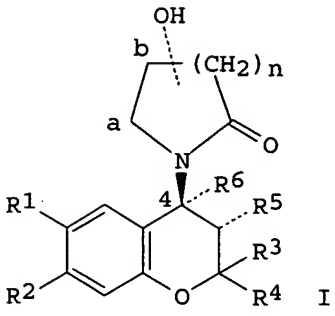
Relative stereochemistry.
Currently available stereo shown.



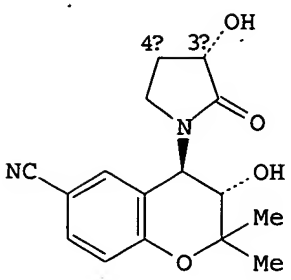
L19 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:173086 CAPLUS
DOCUMENT NUMBER: 110:173086
TITLE: Benzopyran compounds, processes for their preparation and their pharmaceutical use
INVENTOR(S): Cassidy, Frederick; Faruk, Erol Ali
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 274821	A1	19880720	EP 1987-309235	19871019 <--
EP 274821	B1	19930303		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 63165380	A2	19880708	JP 1987-265202	19871020 <--
US 4831050	A	19890516	US 1987-111770	19871021 <--
PRIORITY APPLN. INFO.:			GB 1986-25185 A	19861021
OTHER SOURCE(S):	MARPAT 110:173086			

GI



I



II

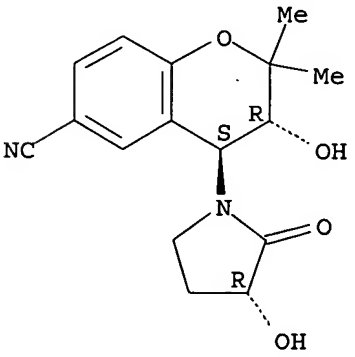
AB Benzopyrans I [1 of R1, R2 = H and the other = alkyl-, alkoxycarbonyl, alkylcarbonyloxy, NO2, cyano, etc.; 1 of R1, R2 = NO2, cyano, alkylcarbonyl and the other = MeO or amino (un)substituted with 1 or 2 alkyl or by alkanoyl; 1 of R3, R4 = H or alkyl and the other = alkyl; R3R4 = polymethylene; either R5 = H, OH, alkoxy, or acyloxy and R6 = H or R5R6 = bond; n = 1,2; the OH group substituting the lactam group at other than position a; substituted lactam group is trans to R5 when R5 = OH, alkoxy, or acyloxy] or their salts, useful as antihypertensives, were prepared (±)-6-Cyano-3,4-dihydro-2,2-dimethyl-trans-3-bromo-4-hydroxy-2H-1-benzopyran in Me2SO was stirred with NaH 45 min to give a solution of the 3,4-epoxide which was cooled to .apprx.10° and treated with 3-(trimethylsilyloxy)-2-pyrrolidinone, NaH, and Me2SO to give a mixture containing .apprx.50% (±)-3'α-OH isomer (II) and .apprx.50% the corresponding 3'β-OH isomer. The (±)-4'α-OH isomer of II, at 10 mg/kg orally in spontaneously hypertensive rats caused 49±0, 46±5, 29±6, 40±5, and 5±3 mm lowering of systolic blood pressure after 1, 2, 4, 6, and 24 h, resp.

IT **118581-51-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensive and potential agent for other cardiovascular disorders)

RN 118581-51-4 CAPLUS

CN 2H-1-Benzopyran-6-carbonitrile, 3,4-dihydro-3-hydroxy-4-(3-hydroxy-2-oxo-1-pyrrolidinyl)-2,2-dimethyl-, [3α,4β(R*)]- (9CI) (CA INDEX NAME)

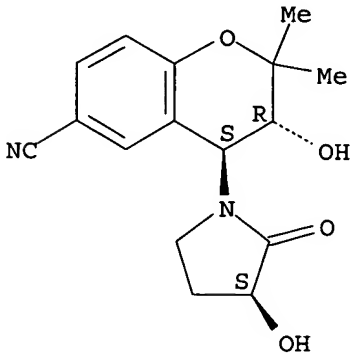
Relative stereochemistry.



IT **118628-73-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

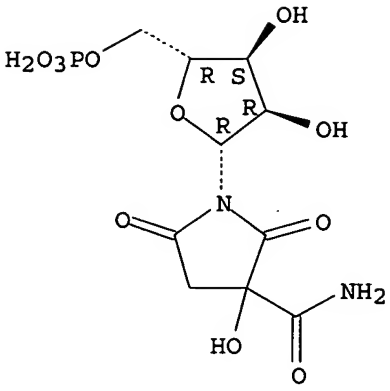
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)
RN 118628-73-2 CAPLUS
CN 2H-1-Benzopyran-6-carbonitrile, 3,4-dihydro-3-hydroxy-4-(3-hydroxy-2-oxo-1-pyrrolidinyl)-2,2-dimethyl-, [3α,4β(S*)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L19 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:461428 CAPLUS
DOCUMENT NUMBER: 109:61428
TITLE: A high-performance liquid chromatographic assay of the electrooxidation of purines. Uric acid and the nucleotide drug tubercidin-5'-monophosphate
AUTHOR(S): Childers-Peterson, T.; Brajter-Toth, A.
CORPORATE SOURCE: Dep. Chem., Univ. Florida, Gainesville, FL, 32611, USA
SOURCE: Analytica Chimica Acta (**1987**), 202, 167-74
CODEN: ACACAM; ISSN: 0003-2670
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:61428
AB A HPLC is described for establishing the completeness of electrooxidn. of a purine nucleotide drug, tubercidin-5'-monophosphate (TMP), and the sequence of product formation. TMP was completely oxidized after 2 h of electrolysis and the product distribution continued to change after this time. The same assay was also used to show the sequence of product formation in the electrooxidn. of uric acid. Both sepns. were isocratic, with a pH 4.6-5.1 0.02M KH2PO4 mobile phase.
IT **113203-36-4**
RL: BIOL (Biological study)
(tubercidin phosphate oxidation product, HPLC study of)
RN 113203-36-4 CAPLUS
CN 3-Pyrrolidinecarboxamide, 3-hydroxy-2,5-dioxo-1-(5-O-phosphono-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

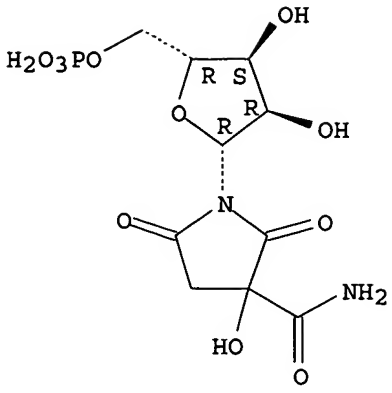


L19 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:120904 CAPLUS
DOCUMENT NUMBER: 108:120904
TITLE: Electrochemical and photochemical oxidation of the deazapurine nucleotide drug tubercidin-5'-monophosphate
AUTHOR(S): Childers-Peterson, Teresa E.; Brajter-Toth, A.
CORPORATE SOURCE: Dep. Chem., Univ. Florida, Gainesville, FL, 32611, USA
SOURCE: Journal of Electroanalytical Chemistry and Interfacial Electrochemistry (**1988**), 239(1-2), 161-73
CODEN: JEIEBC; ISSN: 0022-0728
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Electrooxidn. of deazapurine nucleotide, tubercidin-5'-monophosphate (TMP), was investigated by cyclic voltammetry, coulometry and constant potential electrolysis. HPLC, mass spectrometry, FTIR and NMR spectroscopy were used to identify reaction intermediates and products. Photochem. oxidation of TMP was studied by HPLC and UV spectroscopy. Exptl. results show formation of the same products in the electrochem. and photochem. oxidation The products that were identified indicate a possible radical oxidation pathway. The results demonstrate the role of adsorption in the electrochem. oxidation of TMP.

IT **113203-36-4P**
RL: FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, during electrochem. and photochem. oxidation of tubercidin monophosphate in phosphate buffer solution)
RN 113203-36-4 CAPLUS
CN 3-Pyrrolidinecarboxamide, 3-hydroxy-2,5-dioxo-1-(5-O-phosphono-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



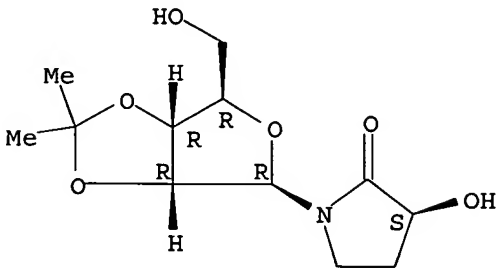
L19 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:518030 CAPLUS
DOCUMENT NUMBER: 87:118030
TITLE: Stereoselectivity and reactivity in the 1,3-dipolar cycloaddition of chiral N-(alkoxyalkyl)nitrones
AUTHOR(S): Vasella, Andrea
CORPORATE SOURCE: Lab. Org. Chem., ETH, Zurich, Switz.
SOURCE: Helvetica Chimica Acta (1977), 60(4), 1273-95
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The ribofuranosylisoxazolidines I [R = H, R1 = R3 = Me, R2 = R4 (R5 = H); R = R3 = Me, R1 = H, R2 = R4 (R5 = H)] on treatment with N-chlorosuccinimide were cleaved to give isoxazolidines I [R = R2 = H, R1 = R3 = Me (II); R = R3 = Me, R1 = R2 = H (III)], resp. The trityl group did not influence the stereoselectivity in the cycloaddn. of D-ribose oximes IV (R6 = trityl, Me) wih HCHO and CH2:CMeCO2Me (V). Similarly, the cycloaddn. reactions of mannose oxime VI with RCOR1 (R = R1 = H, Me; R = H, R1 = Me) and V gave I (R-R2 = H, R3 = Me; R = R1 = R3 = Me, R2 = H), II, and III, possessing S-chirality at C-5, in 79-95% stereoselectivity. Both C-5 epimers of ribofuranosylisoxazolidines, R4R7 and R4R8 (R5 = H), were obtained by the reaction of IV (R6 = trityl) (VII) and paraformaldehyde with 1-octene and with cyclohexene, resp. The reaction of VII, HCHO, and CH2:CHCO2Me gave 57.9% (5S)-I [R = R1 = H, R2 = R4 (R5 = trityl), R3 = Me] and 32.4% of its 5R-isomer. The use of 2,3,4,6-tetra-O-methyl-D-glucose oxime permitted the synthesis of optically active isoxazolidines with regeneration of the starting hydroxy oxime.

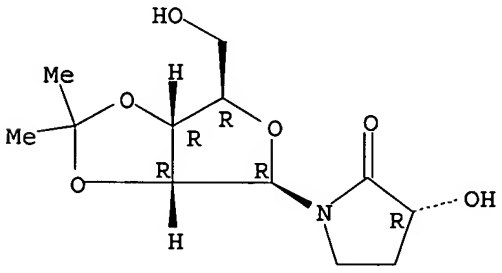
IT **64018-70-8P 64018-71-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 64018-70-8 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

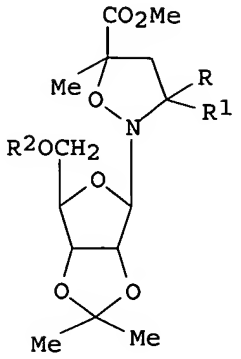


RN 64018-71-9 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

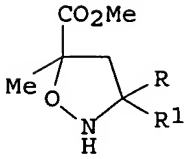
Absolute stereochemistry.



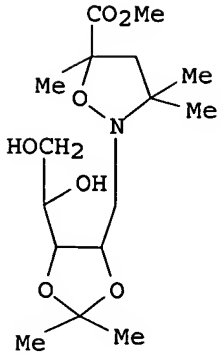
L19 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:468562 CAPLUS
DOCUMENT NUMBER: 87:68562
TITLE: Synthesis and transformations of isoxazolidine nucleosides
AUTHOR(S): Vasella, Andrea
CORPORATE SOURCE: Lab. Org. Chem., ETH, Zurich, Switz.
SOURCE: Helvetica Chimica Acta (1977), 60(2), 426-46
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



I



II



III

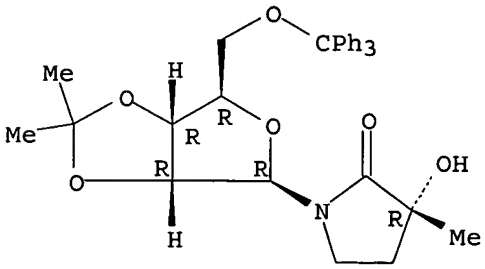
AB Cyclocondensation of 2,3-O-isopropylidene-5-O-trityl-D-ribose oxime with CH2:CMeCO2Me and RCOR1 (R = R1= H; R = Me, R1 = H, Me) gave the isoxazolidinylribosides I (R = H, Me; R1 = H, Me; R2 = Ph3C), which were treated with FeCl3 to give I (R2 = H). Treatment of I (R-R2 = H) and I (R = R1 = Me, R2 = H) with alcoholic HClO4 gave 84% II (R = R1 = H) and 67% II (R = R1 = Me), resp. Hydrogenolysis of I over Raney Ni followed by lactam formation gave the corresponding ribofuranosylpyrrolidinones, ribitolyl pyrrolidinones and the ribitolyl isoxazolidine III.

IT **63535-56-8P 63535-67-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 63535-56-8 CAPLUS

CN 2-Pyrrolidinone, 3-hydroxy-3-methyl-1-[2,3-O-(1-methylethylidene)-5-O-(triphenylmethyl)-β-D-ribofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

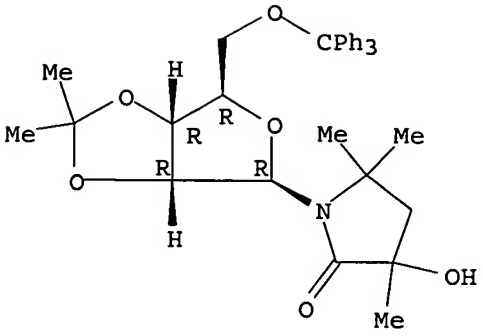
Absolute stereochemistry.



RN 63535-67-1 CAPLUS

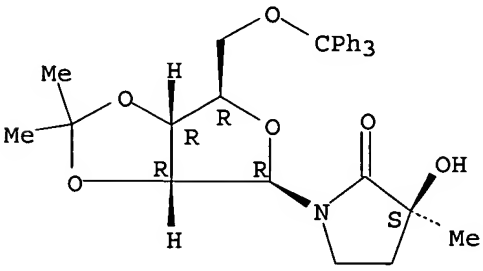
CN 2-Pyrrolidinone, 3-hydroxy-3,5,5-trimethyl-1-[2,3-O-(1-methylethylidene)-5-O-(triphenylmethyl)-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



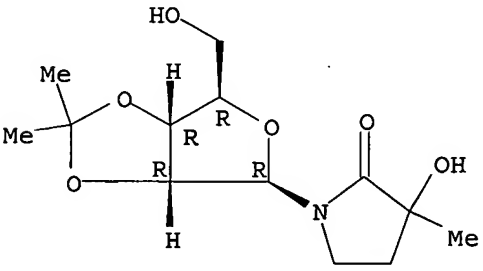
IT **63535-58-0P 63535-59-1P 63535-73-9P**
63549-78-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 63535-58-0 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-3-methyl-1-[2,3-O-(1-methylethylidene)-5-O-(triphenylmethyl)-β-D-ribofuranosyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



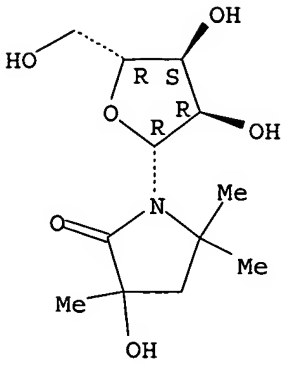
RN 63535-59-1 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-3-methyl-1-[2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



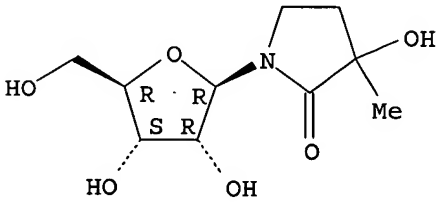
RN 63535-73-9 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-3,5,5-trimethyl-1-β-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

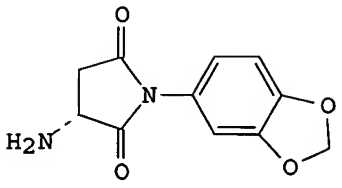


RN 63549-78-0 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-3-methyl-1-β-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:433426 CAPLUS
DOCUMENT NUMBER: 87:33426
TITLE: 3,4-Methylenedioxyphenyl-, isopropylidenedioxyphenyl-, and benzyl-substituted chiral 2-aminosuccinimides and 3-aminopyrrolidines. Stereoselective investigations of potential anti-Parkinsonian, antipsychotic, and anticonvulsant activities
AUTHOR(S): Witiak, Donald T.; Vishnuvajjala, Babu R.; Cook, Wayne L.; Minatelli, John A.; Gupta, Tribhuwan K.; Gerald, Michael C.
CORPORATE SOURCE: Coll. Pharm., Ohio State Univ., Columbus, OH, USA
SOURCE: Journal of Medicinal Chemistry (**1977**), 20(6), 801-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:33426
GI



I

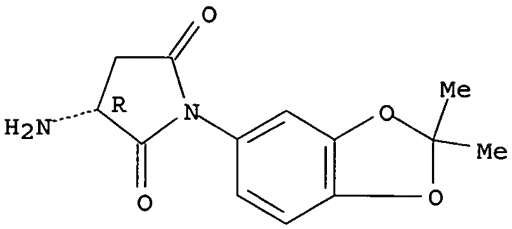
AB Seven enantiomeric pairs of title compds. were prepared by reaction of the appropriate aspartic acid derivative stereoisomer with 3,4-methylenedioxyaniline [14268-66-7], 3,4-isopropylidenedioxyaniline [6324-89-6], while the pyrrolidine enantiomers were prepared by reduction and alkylation of the corresponding benzylsuccinimide enantiomers. D-(R)-2-amino-N-(3,4-methylenedioxyphenyl)succinimide-HCl (I-HCl) [62396-38-7] partially attenuated amphetamine-induced stereotyped behavior in rats, while all the other compds. were inactive. D-(R)-2-amino-N-(3,4-isopropylidenedioxyphenyl)succinimide-HCl (II-HCl) **[62396-40-1]** antagonized oxotremorine-induced tremors in mice in a dose-dependent manner, while its enantiomorph was inactive. The median neurotoxic dose and time of peak activity, determined by the rotarod test, were similar for the various compds., but the D series was more active. Structure-activity relations are discussed.

IT **62396-40-1P 62396-41-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of)

RN 62396-40-1 CAPLUS

CN 2,5-Pyrrolidinedione, 3-amino-1-(2,2-dimethyl-1,3-benzodioxol-5-yl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

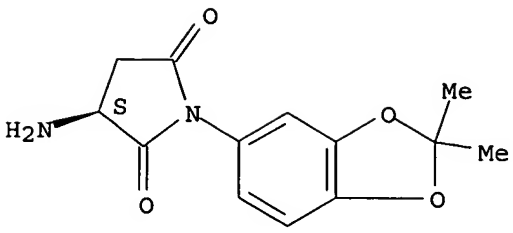


● HCl

RN 62396-41-2 CAPLUS

CN 2,5-Pyrrolidinedione, 3-amino-1-(2,2-dimethyl-1,3-benzodioxol-5-yl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



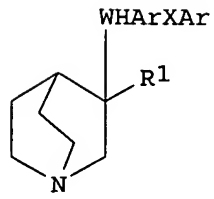
● HCl

=> d l20 ibib abs hitstr tot

L20 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:247333 CAPLUS
DOCUMENT NUMBER: 134:266475
TITLE: Preparation of quinuclidine compounds and drugs containing the same as the active ingredient of squalene synthase inhibitors
INVENTOR(S): Okada, Toshimi; Kurusu, Nobuyuki; Tanaka, Keigo; Miyazaki, Kazuki; Shinmyo, Daisuke; Sugumi, Hiroyuki; Ikuta, Hironori; Hiyoshi, Hironobu; Saeki, Takao; Yanagimachi, Mamoru; Ito, Masashi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001023383	A1	20010405	WO 2000-JP6665		20000927 <--
W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2385995	AA	20010405	CA 2000-2385995		20000927 <--
AU 2000074464	A5	20010430	AU 2000-74464		20000927 <--
EP 1217001	A1	20020626	EP 2000-962889		20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY					
BR 2000014331	A	20030610	BR 2000-14331		20000927
NZ 517788	A	20031128	NZ 2000-517788		20000927
ZA 2002002034	A	20030312	ZA 2002-2034		20020312
US 6599917	B1	20030729	US 2002-88554		20020319
NO 2002001528	A	20020528	NO 2002-1528		20020326
PRIORITY APPLN. INFO.:			JP 1999-273905	A	19990928
			JP 2000-179352	A	20000615
			WO 2000-JP6665	W	20000927

OTHER SOURCE(S): MARPAT 134:266475
GI



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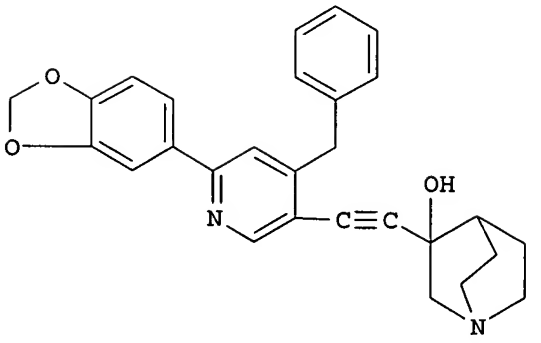


II

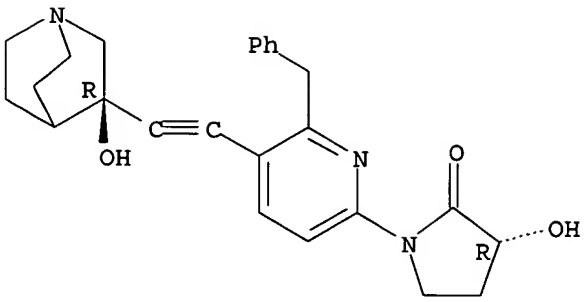
AB Title compds. [I; wherein R1 is hydrogen or hydroxyl; HAr is an optionally substituted aromatic heterocycle; Ar is an optionally substituted aromatic ring; W is a CH2CH2 group which may be substituted, a CH:CH group which may be substituted, CC, NHCO, or the like; X is a single bond, optionally substituted C1-6 alkylene, Q ;wherein Q is oxygen, sulfur, CO, N(R2) ; wherein R2 is C1-6 alkyl or C1-6 alkoxy, NHCO, or the like], salts thereof, or hydrates of both, are prepared and are useful as excellent squalene synthase inhibitors. **Thus**, the title compound II was prepared and tested.

IT **332132-83-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinuclidine compds. and drugs containing the same as active ingredient of squalene synthase inhibitors)

RN 332132-83-9 CAPLUS

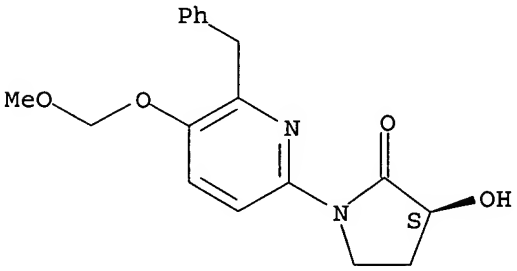
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-[[(3R)-3-hydroxy-1-azabicyclo[2.2.2]oct-3-yl]ethynyl]-6-(phenylmethyl)-2-pyridinyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



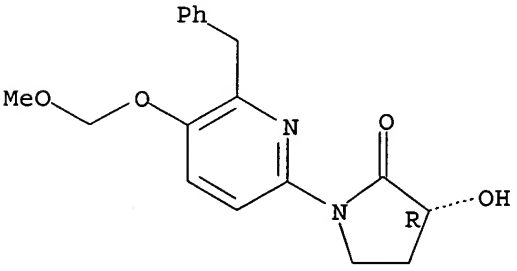
IT **332135-05-4P 332135-10-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinuclidine compds. and drugs containing the same as active ingredient of squalene synthase inhibitors)
RN 332135-05-4 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-(methoxymethoxy)-6-(phenylmethyl)-2-pyridinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 332135-10-1 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-(methoxymethoxy)-6-(phenylmethyl)-2-pyridinyl]-, (3R)- (9CI) (CA INDEX NAME)

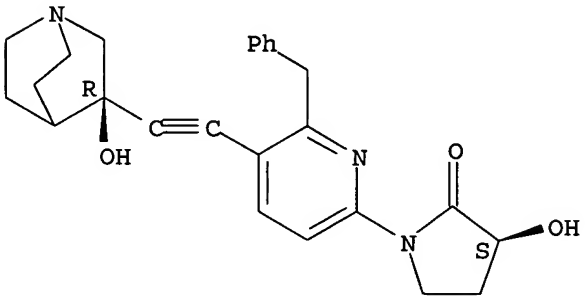
Absolute stereochemistry.



IT **332132-82-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinuclidine compds. and drugs containing the same as active ingredient of squalene synthase inhibitors)

RN 332132-82-8 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-[[(3R)-3-hydroxy-1-azabicyclo[2.2.2]oct-3-yl]ethynyl]-6-(phenylmethyl)-2-pyridinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

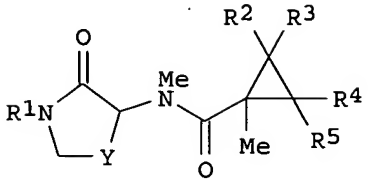


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:260235 CAPLUS
DOCUMENT NUMBER: 132:293663
TITLE: Preparation of cyclopropylcarbonylaminopyrrolidinones, -thiazolidinones, or -oxazolidinones as herbicides.
INVENTOR(S): Parry, David Rees; Matthews, Ian Richard; Mitchell, Glynn; Williams, Alfred Glyn; Barnes, Nigel John; Cox, John Michael; Gillen, Kevin James; Ensminger, Michael Paul; Khodayari, Khosro; Nakayama, Hiroto
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2000021928	A1	20000420	WO 1999-GB3143		19990921	<--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM						
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
AU 9961033	A1	20000501	AU 1999-61033		19990921	<--
BR 9914342	A	20010626	BR 1999-14342		19990921	<--
JP 2002527420	T2	20020827	JP 2000-575837		19990921	
PRIORITY APPLN. INFO.:			GB 1998-22116	A	19981009	
			WO 1999-GB3143	W	19990921	

OTHER SOURCE(S): MARPAT 132:293663
GI



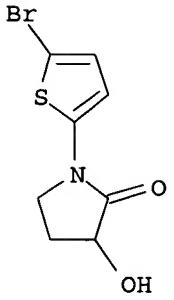
I

AB Title compds. [I; Y = O, S, CH2; R1 = (substituted) aryl, heteroarom.; R2, R3 = H, halogen; R4, R5 = halo], were prepared **Thus**, 3-methylamino-1-(3-difluoromethoxy-4-methylphenyl)pyrrolidin-2-one (preparation given) in CH2Cl2 was treated with 2,2-dichloro-1-methylcyclopropylcarbonyl chloride and then with Et3N to give diastereomeric 3-[N-(2,2-dichloro-1-methylcyclopropylcarbonyl)methylamino]-1-(3-difluoromethoxy-4-methylphenyl)pyrrolidin-2-one. Several I at 250 ppm preemergent gave 90-100% damage to Amaranthus retroflexus, Echinochloa crus-galli, etc.

IT **264194-57-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclopropylcarbonylaminopyrrolidinones, -thiazolidinones, or -oxazolidinones as herbicides)

RN 264194-57-2 CAPLUS

CN 2-Pyrrolidinone, 1-(5-bromo-2-thienyl)-3-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:200115 CAPLUS

DOCUMENT NUMBER: 124:261022

TITLE: Preparation of substituted pyrrolidones, thiazolidones, or oxazolidones as herbicides

INVENTOR(S): Cox, John Michael; Clough, John Martin; Barnes, Nigel John; Pearson, David Philip John; Matthews, Ian Richard; Vohra, Shaheen Khatoon; Smith, Stephen Christopher; Mitchell, Glynn; Barber, Richard Anthony; Et, Al.

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

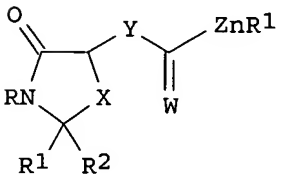
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533719	A1	19951214	WO 1995-GB1224	19950526 <--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, IS, JP, KP, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2190979	AA	19951214	CA 1995-2190979	19950526 <--
AU 9525720	A1	19960104	AU 1995-25720	19950526 <--
AU 696084	B2	19980903		
EP 763020	A1	19970319	EP 1995-920158	19950526 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 75808	A2	19970528	HU 1996-3248	19950526 <--
CN 1153512	A	19970702	CN 1995-194250	19950526 <--
BR 9507838	A	19970916	BR 1995-7838	19950526 <--
JP 10500985	T2	19980127	JP 1995-500502	19950526 <--
ZA 9504443	A	19960205	ZA 1995-4443	19950531 <--
CN 1341594	A	20020327	CN 2001-125418	20010815

PRIORITY APPLN. INFO.:
GB 1994-10998 A 19940602
GB 1994-11004 A 19940602
GB 1995-1158 A 19950120
WO 1995-GB1224 W 19950526

OTHER SOURCE(S): MARPAT 124:261022
GI



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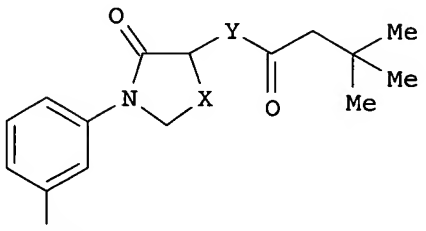
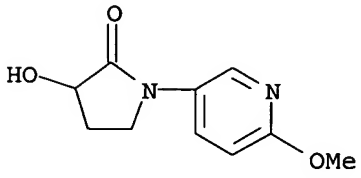


II

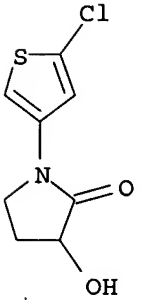
AB Title compds. [I; R = (un)substituted (hetero)aryl; R1 = H, hydrocarbyl, heterocyclyl, etc.; R2,R3 = H, alkyl; W = O or S; X = O, S, CR4R5; R4,R5 = H, alkyl; Y = O, S, NR6, CR4R5, etc.; R6 = H, OH, CHO, (di)(hydrocarbyl)amino, hydrocarbyl, etc.; Z = O, S, NR4, etc.; n = 0 or 1] were prepared **Thus**, 3-(F3C)C6H4NH2 was cyclocondensed with HSCH2CO2H and HCHO and the product converted in 2 steps to 5-hydroxy-3-(3-trifluoromethylphenyl)-4-thiazolidinone which was O-acylated with Me3CCH2COCl to give title compound II (X = S, Y = O). II (X = CH2, Y = NH) gave 90-100% control of 7 weeds, e.g., Amaranthus retroflexus, with 6-15% damage to soybean and corn and no damage to rice at 500g/ha preemergent.

IT **174889-59-9P 174889-68-0P 174889-87-3P**
174889-88-4P 174889-89-5P 174889-90-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted pyrrolidones, thiazolidones, or oxazolidones as herbicides)

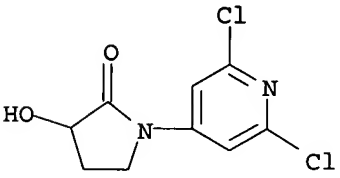
RN 174889-59-9 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



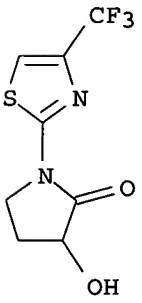
RN 174889-68-0 CAPLUS
CN 2-Pyrrolidinone, 1-(5-chloro-3-thienyl)-3-hydroxy- (9CI) (CA INDEX NAME)



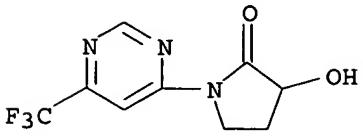
RN 174889-87-3 CAPLUS
CN 2-Pyrrolidinone, 1-(2,6-dichloro-4-pyridinyl)-3-hydroxy- (9CI) (CA INDEX NAME)



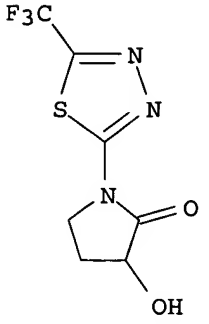
RN 174889-88-4 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[4-(trifluoromethyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 174889-89-5 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[6-(trifluoromethyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 174889-90-8 CAPLUS
CN 2-Pyrrolidinone, 3-hydroxy-1-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	115.61	929.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-16.06	-16.06

STN INTERNATIONAL LOGOFF AT 16:03:25 ON 02 JAN 2005